```
=> s l1
SAMPLE SEARCH INITIATED 16:26:23 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      763 TO ITERATE

100.0% PROCESSED      763 ITERATIONS                                5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        13603 TO    16917
PROJECTED ANSWERS:               5 TO      234

L2            5 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 16:26:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    15491 TO ITERATE

100.0% PROCESSED    15491 ITERATIONS                            107 ANSWERS
SEARCH TIME: 00.00.01

L3          107 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 178.36     178.57

FILE 'CAPLUS' ENTERED AT 16:26:36 ON 06 JAN 2008
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FILE COVERS 1907 - 6 Jan 2008  VOL 148 ISS 2
FILE LAST UPDATED: 4 Jan 2008  (20080104/ED)

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http://www.cas.org/infopolicy.html

=> s l3
L4            9 L3

=> d l4 1-9 fhitstr
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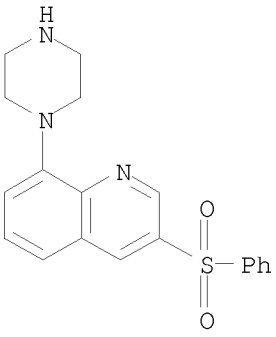
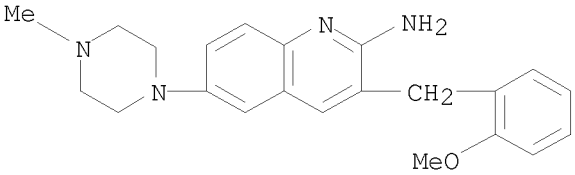
L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
IT 607742-69-8P, 3-Phenylsulfonyl-8-piperazin-1-ylquinoline
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of aminophenylsulfonylquinolines from fluorophenylsulfonylquinolines and amines in the presence of base and solvent)
RN 607742-69-8 CAPLUS
CN Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)



L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
IT 927891-10-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoquinolines and related compds. 5-ht5 receptor inhibitors)
RN 927891-10-9 CAPLUS
CN 2-Quinolinamine, 3-[(2-methoxyphenyl)methyl]-6-(4-methyl-1-piperazinyl)-, 2-butenedioate (1:2) (CA INDEX NAME)

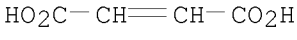
CM 1

CRN 927891-09-6
CMF C22 H26 N4 O

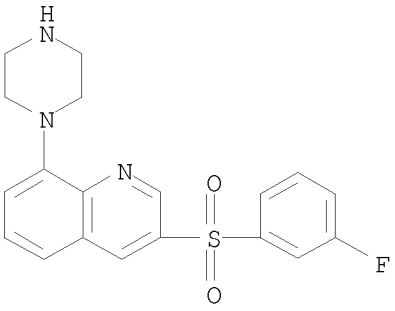


CM 2

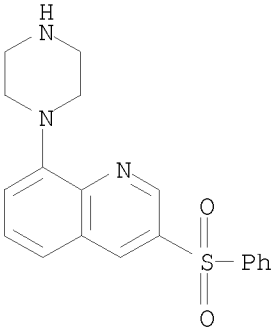
CRN 6915-18-0
CMF C4 H4 O4



L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
IT 607743-50-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(in the preparation of isotopomeric piperazine-containing ligands labeling and
diagnostic imaging of 5-HT6 receptors)
RN 607743-50-0 CAPLUS
CN Quinoline, 3-[(3-fluorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

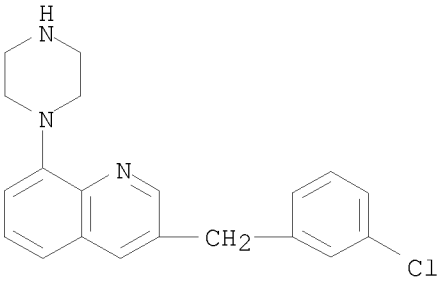


L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
IT 607742-69-8P, 3-Phenylsulfonyl-8-piperazin-1-ylquinoline
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for the preparation of a crystal polymorphic form of 3-phenylsulfonyl-8-piperazin-1-ylquinoline)
RN 607742-69-8 CAPLUS
CN Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)



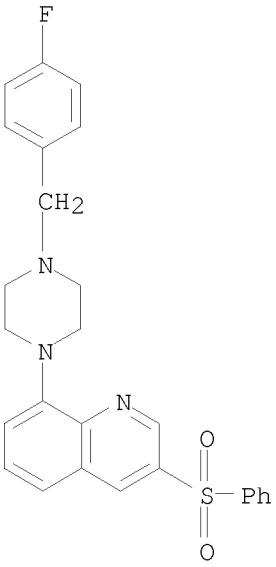
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
IT 849586-10-3P, 3-[(3-Chlorophenyl)methyl]-8-(1-

piperazinyl)quinoline monohydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyl-quinolines for treating CNS disorders)
RN 849586-10-3 CAPLUS
CN Quinoline, 3-[(3-chlorophenyl)methyl]-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

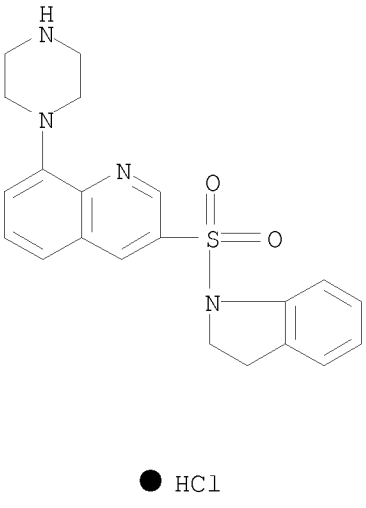


● HCl

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
IT 848396-13-4P, 8-[4-(4-Fluorobenzyl)piperazin-1-yl]-3-phenylsulfonylquinoline
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 8-(1-piperazinyl)quinolines for treatment of CNS disorders)
RN 848396-13-4 CAPLUS
CN Quinoline, 8-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)

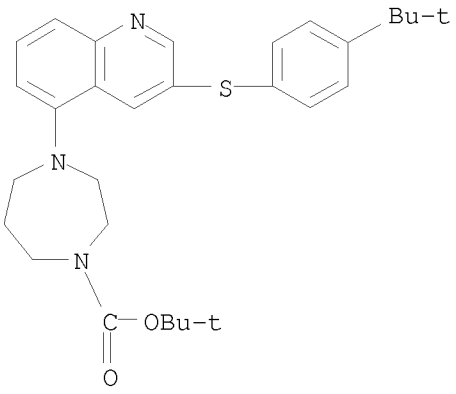


L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
IT 847727-11-1P, 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(1-piperazinyl)quinoline monohydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of piperazinylquinolines for treatment of CNS disorders)
RN 847727-11-1 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

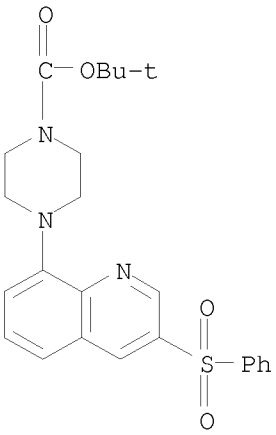


● HCl

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
IT 636997-89-2P, tert-Butyl 4-[3-[(4-tert-butylphenyl)thio]quinolin-5-yl]-1,4-diazepane-1-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of naphthelene and pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders)
RN 636997-89-2 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[3-[[4-(1,1-dimethylethyl)phenyl]thio]-5-quinolinyl]hexahydro-, 1,1-dimethylethyl ester (CA INDEX NAME)



L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
IT 607743-10-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylsulfonyl(diazacycloalkyl)quinolines for treatment of CNS disorders)
RN 607743-10-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-(phenylsulfonyl)-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



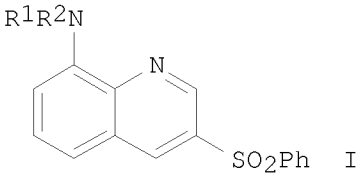
=> d l4 1-9 bib abs fhitstr

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:410374 CAPLUS
DN 146:402011
TI Process for preparation of 8-amino-3-phenylsulfonylquinolines from 8-fluoro-3-phenylsulfonylquinoline and amines in the presence of base and solvent.
IN Wade, Charles Edward
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 26pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007039238	A1	20070412	WO 2006-EP9460	20060926

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

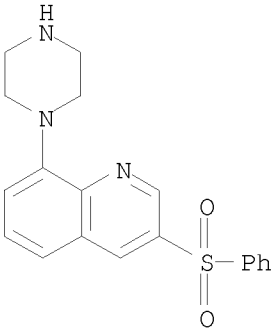
PRAI GB 2005-19758 A 20050928
OS CASREACT 146:402011; MARPAT 146:402011
GI



I

AB Title compds. [I; R1, R2 = H, alkyl; NR1R2 = (substituted) 4-7 membered heterocyclyl], were prepared by reaction of 8-fluoro-3-phenylsulfonylquinoline with R1R2NH (variables as above) in the presence of base and solvent. Thus, 8-fluoro-3-phenylsulfonylquinoline (preparation given), piperazine, and K2CO3 were heated together in n-propanol at 100° for 23 h to give 86% 3-phenylsulfonyl-8-piperazin-1-ylquinoline. Polymorphic forms II and III of the latter were prepared via recrystn.
IT 607742-69-8P, 3-Phenylsulfonyl-8-piperazin-1-ylquinoline
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(Preparation)
(preparation of aminophenylsulfonylquinolines from fluorophenylsulfonylquinolines and amines in the presence of base and solvent)
RN 607742-69-8 CAPLUS
CN Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)



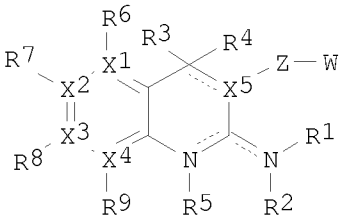
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:226817 CAPLUS
DN 146:295780
TI Preparation of 2-aminoquinolines and related compounds 5-ht5 receptor inhibitors
IN Amberg, Wilhelm; Netz, Astrid; Kling, Andreas; Ochse, Michael; Lange, Udo; Hutchins, Charles W.; Garcia-Ladona, Francisco Javier; Wernet, Wolfgang
PA Abbott G.m.b.H. & Co. K.-G., Germany
SO PCT Int. Appl., 298pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007022946	A1	20070301	WO 2006-EP8222	20060821
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI DE 2005-102005040602	A	20050821		
US 2005-711075P	P	20050824		
DE 2006-102006005916	A	20060209		

OS MARPAT 146:295780

GI



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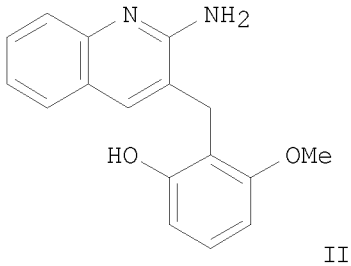


II

AB Title compds. I [R1, R2 = H, electron lone pair, OH, etc.; R3 = H, NO2, NH2, etc.; R4 = a bond in a ring to X5 with provisos; R5 = H, lone electron pair, O-alkyl, etc.; R6, R7, R8, R9 = free electron lone pair or N or C with provisos, etc.; W = substituted phenyl; Z = (CRz1Rz2)a; Rz1, Rz2 = H, halo, OH, etc.; X5 = C, N; X1 = C, N; X2 = C, N; X3 = C, N; X4 = C, N] and their pharmaceutically acceptable salts were prepared For example, aminoquinoline II was prepared from 2-chloroquinoline in 3-steps. In 5-HT5a receptor binding assays, 80-examples of compds. I exhibited Ki values ≤ 300nM.

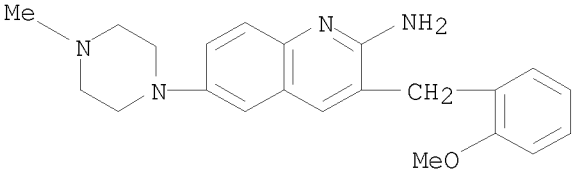
IT 927891-10-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoquinolines and related compds. 5-ht5 receptor inhibitors)

RN 927891-10-9 CAPLUS

CN 2-Quinolinamine, 3-[(2-methoxyphenyl)methyl]-6-(4-methyl-1-piperazinyl)-, 2-butenedioate (1:2) (CA INDEX NAME)

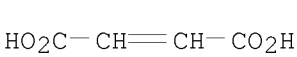
CM 1

CRN 927891-09-6
CMF C22 H26 N4 O



CM 2

CRN 6915-18-0
CMF C4 H4 O4

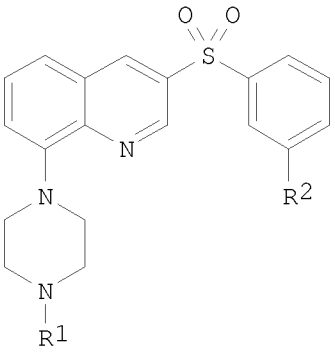


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:493996 CAPLUS
DN 145:8187
TI Preparation of isotopomeric piperazine-containing ligands labeling and diagnostic imaging of 5-HT6 receptors
IN Gee, Antony David; Martarello, Laurent; Johnson, Christopher Norbert; Witty, David R.
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006053785	A1	20060526	WO 2005-EP12463	20051117
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	CA 2588381	A1	20060526	CA 2005-2588381	20051117
	EP 1824830	A1	20070829	EP 2005-807786	20051117
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
PRAI	GB 2004-25548	A	20041119		
	WO 2005-EP12463	W	20051117		

OS CASREACT 145:8187; MARPAT 145:8187
GI



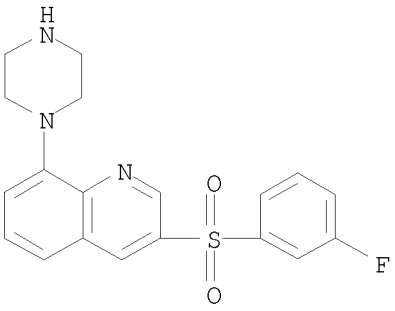
I

AB Piperazine-containing ligands [I; R1 = 3H, 11C, 13N, 15O, 76Br, 18 F, 123I, 125I, 131I, 75Br, 76Br, 77Br, 82Br, 211At; R2 = F; or R1 = C1-4 (fluoro)alkyl and R2 = 3H, 11C, 13N, 15O, 76Br, 18 F, 123I, 125I, 131I, 75Br, 76Br, 77Br, 82Br, 211At; e.g., (11C-N-methyl)-3-[(3-fluorophenyl)sulfonyl]-8-(4-methyl-1-piperazinyl)quinoline; 5-HT6 receptor pKi 9.82], which are useful for the labeling and diagnostic imaging of 5-HT6 receptors functionality and the treatment of CNS related disorders, are prepared

IT 607743-50-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(in the preparation of isotopomeric piperazine-containing ligands labeling and
diagnostic imaging of 5-HT6 receptors)

RN 607743-50-0 CAPLUS

CN Quinoline, 3-[(3-fluorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:395276 CAPLUS

DN 142:430310

TI Process for the preparation of a crystal polymorphic form of 3-phenylsulfonyl-8-piperazin-1-ylquinoline

IN Gladwin, Asa Elisabeth

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

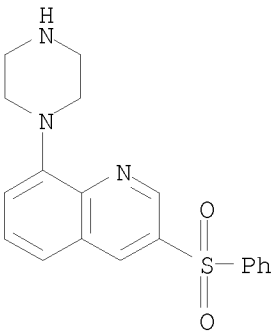
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005040124	A1	20050506	WO 2004-EP10843	20040923
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004283805	A1	20050506	AU 2004-283805	20040923
CA 2540022	A1	20050506	CA 2004-2540022	20040923
EP 1667975	A1	20060614	EP 2004-765655	20040923
EP 1667975	B1	20071128		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1856471	A	20061101	CN 2004-80027527	20040923
BR 2004014678	A	20061128	BR 2004-14678	20040923
JP 2007506702	T	20070322	JP 2006-527373	20040923
IN 2006DN00970	A	20070817	IN 2006-DN970	20060224
US 2007032504	A1	20070208	US 2006-572670	20060320
MX 2006PA03375	A	20060608	MX 2006-PA3375	20060324
KR 2007020372	A	20070221	KR 2006-705895	20060324
NO 2006001791	A	20060424	NO 2006-1791	20060424
PRAI GB 2003-22629	A	20030926		
WO 2004-EP10843	W	20040923		

OS CASREACT 142:430310

AB Polymorphic crystalline forms of 3-phenylsulfonyl-8-piperazin-1-ylquinoline are synthesized, characetrized, and claimed in the treatment of CNS (e.g., schizophrenia) and other disorders.

IT 607742-69-8P, 3-Phenylsulfonyl-8-piperazin-1-ylquinoline
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for the preparation of a crystal polymorphic form of 3-phenylsulfonyl-8-piperazin-1-ylquinoline)

RN 607742-69-8 CAPLUS
CN Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)

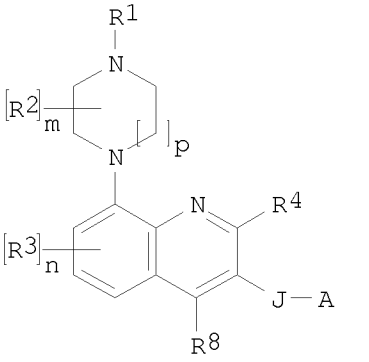


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:300407 CAPLUS
DN 142:373864
TI Preparation of piperazinyl-quinoline derivatives useful for the treatment of CNS disorders
IN Johnson, Christopher Norbert; Moss, Stephen Frederick; Witty, David R.
PA Glaxo Group Limited, UK; Witty, David R
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005030724	A1	20050407	WO 2004-EP10845	20040923
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1663981	A1	20060607	EP 2004-787037	20040923
	EP 1663981	B1	20070718		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
	JP 2007506704	T	20070322	JP 2006-527375	20040923
	AT 367380	T	20070815	AT 2004-787037	20040923
	US 2007027139	A1	20070201	US 2006-572671	20060320
PRAI	GB 2003-22510	A	20030925		
	WO 2004-EP10845	W	20040923		

OS CASREACT 142:373864; MARPAT 142:373864
GI



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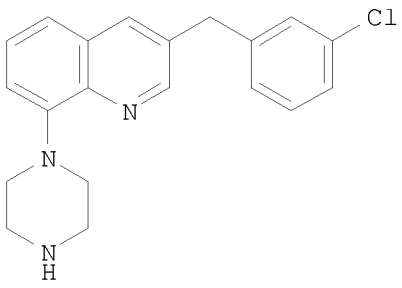


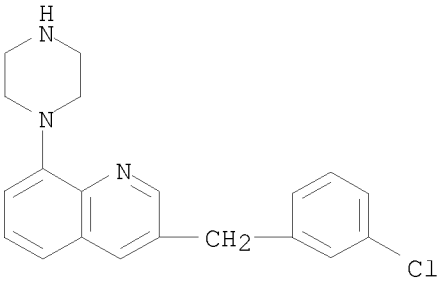
II

AB The title compds. I [R1 = H, alkyl, alkylaryl, etc.; R2 = H, alkyl; m = 1-4; R3-R5 = H, halo, CN, etc.; n = 1-3; p = 1-2; J = CH2, CO, O, etc.; A = (un)substituted (hetero)aryl] and their pharmaceutically acceptable salts, useful in the treatment of CNS and other disorders such as depression, anxiety, etc., were prepared E.g., a multi-step synthesis of II.HCl, starting from 8-chloroquinoline, was given. Three exemplified compds. I were tested and showed affinity for the 5-HT6 receptor, having pKi values > 6.0 at human cloned 5-HT6 receptors. More particularly, the compound II exhibited pKi > 7.5. The pharmaceutical composition comprising the compound I is claimed.

IT 849586-10-3P, 3-[(3-Chlorophenyl)methyl]-8-(1-piperazinyl)quinoline monohydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyl-quinolines for treating CNS disorders)

RN 849586-10-3 CAPLUS

CN Quinoline, 3-[(3-chlorophenyl)methyl]-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



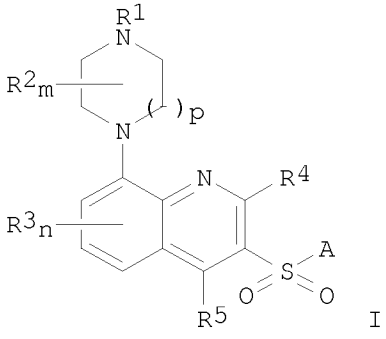
● HCl

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:260030 CAPLUS
DN 142:336394
TI Preparation of 8-(1-piperazinyl)quinolines for treatment of CNS disorders
IN Johnson, Christopher Norbert; Witty, David R.
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005026125	A1	20050324	WO 2004-EP10129	20040909
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1663980	A1	20060607	EP 2004-765057	20040909
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
	JP 2007505075	T	20070308	JP 2006-525773	20040909
	US 2006287334	A1	20061221	US 2006-571405	20060310
PRAI	GB 2003-21473	A	20030912		
	WO 2004-EP10129	W	20040909		

OS CASREACT 142:336394; MARPAT 142:336394
GI



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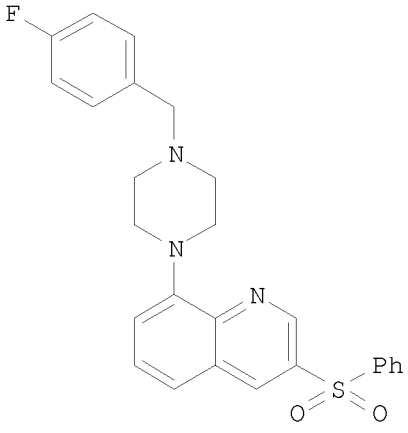


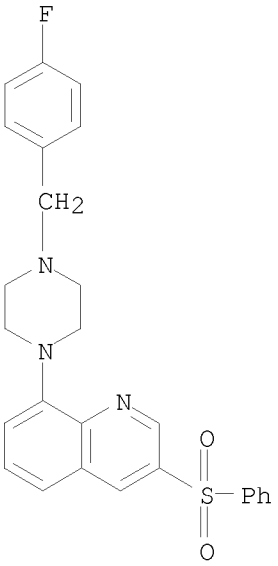
II

AB Title compds. I [R1 = (un)substituted alkyl, alkylcycloalkyl, alkoxyalkyl, alkyl(hetero)aryl, alkylheterocyclyl; R2 = H or alkyl; m = 1-4; when m > 1, two R2 groups may be linked to form a CH2, (CH2)2 or (CH2)3 group; R3-R5 = independently H, halo, CN, CF3, OCF3, alkyl, alkoxy, alkanoyl, CONH2 and derivs.; n = 1 - 3; p = 1-2; and their pharmaceutically acceptable salts] were prepared as 5HT6 receptor antagonists in treatment of CNS disorders. Thus, condensation of 3-phenylsulfonyl-8-(piperazin-1-yl)quinoline (preparation given) with 4-fluorobenzaldehyde gave II. I were tested and showed good affinity for the 5-HT6 receptor, having pKi values ≥ 7.0 at human cloned 5-HT6 receptors.

IT 848396-13-4P, 8-[4-(4-Fluorobenzyl)piperazin-1-yl]-3-phenylsulfonylquinoline
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 8-(1-piperazinyl)quinolines for treatment of CNS disorders)

RN 848396-13-4 CAPLUS

CN Quinoline, 8-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:216810 CAPLUS
DN 142:298134
TI Preparation of 8-(1-piperazinyl)quinolines for treatment of CNS disorders
IN Johnson, Christopher Norbert; Moss, Stephen Frederick; Tait, Malcolm M.; Witty, David R.
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005021530	A1	20050310	WO 2004-EP9724	20040826
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1660483	A1	20060531	EP 2004-764687	20040826
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
	JP 2007504114	T	20070301	JP 2006-524347	20040826
PRAI	GB 2003-20320	A	20030829		
	WO 2004-EP9724	W	20040826		

OS MARPAT 142:298134

GI

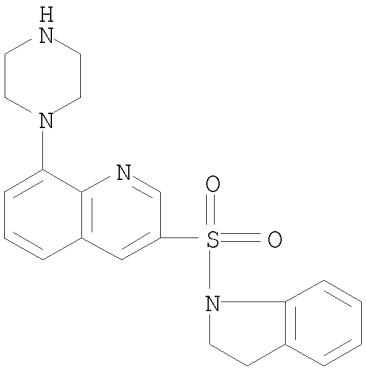
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, (un)substituted cyclo/alkyl, alkylaryl, alkylheteroaryl, alkylheterocyclyl; R2 = H, alkyl; m = 1-4; when m > 1, two R2 groups may be linked to form a CH2, (CH2)2 or (CH2)3 group; when R1 = alkyl, R1 may optionally be linked to R2 to form a (CH2)2, (CH2)3 or (CH2)4 group; R3, R4, R5 = independently H, halo, CN, CF3, OCF3, alkyl, alkoxy, alkanoyl, CONH2 and derivs.; n = 1 - 3; X = (CH2)p; p = 1-2; Ra = H, alk(en)yl, alkyl/cycloalkyl; Rb = H, alkyl, (un)substituted alkylaryl, alkylheteroaryl; or RaNRb = (un)substituted heterocyclyl; and their pharmaceutically acceptable salts] were prepared for use as 5HT6 receptor antagonists in treatment of CNS disorders. Thus, II•HCl was prepared by oxidation of 8-chloro-3-quinolinethiol (preparation given), oxidative cleavage of disulfide, amination of the chloride with 1,1-dimethylethyl 1-piperazinecarboxylate and Boc-deprotection. I were tested and showed good affinity for the 5-HT6 receptor, having pKi values ≥ 7.5 at human cloned 5-HT6 receptors.

IT 847727-11-1P, 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(1-piperazinyl)quinoline monohydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of piperazinylquinolines for treatment of CNS disorders)

RN 847727-11-1 CAPLUS

CN 1H-Indole, 2,3-dihydro-1-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:2873 CAPLUS
DN 140:42036
TI Preparation of pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders
IN Johansson, Gary; Jenmalm-Jensen, Annika; Beierlein, Katarina
PA Biovitrum AB, Swed.
SO PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004000828	A1	20031231	WO 2003-SE1061	20030619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2486989	A1	20031231	CA 2003-2486989	20030619
AU 2003243091	A1	20040106	AU 2003-243091	20030619
US 2004024210	A1	20040205	US 2003-465034	20030619
EP 1513828	A1	20050316	EP 2003-760999	20030619
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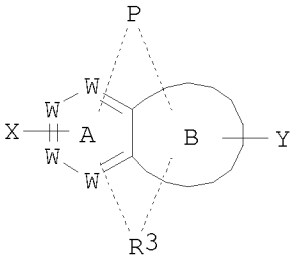
BR 2003011952	A	20050419	BR 2003-11952	20030619
CN 1662521	A	20050831	CN 2003-814432	20030619
JP 2005536551	T	20051202	JP 2004-530936	20030619
ZA 2004009030	A	20060222	ZA 2004-9030	20030619

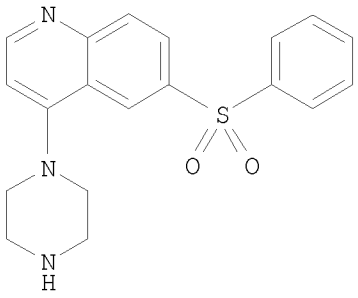
	CN 1907982	A	20070207	CN 2006-10108036	20030619
	NZ 536600	A	20070831	NZ 2003-536600	20030619
	CN 101081845	A	20071205	CN 2006-10101528	20030619
	MX 2004PA12914	A	20050331	MX 2004-PA12914	20041217
	IN 2004CN03052	A	20060217	IN 2004-CN3052	20041231
	NO 2005000294	A	20050204	NO 2005-294	20050119
	IN 2007CN02849	A	20071012	IN 2007-CN2849	20070627
PRAI	SE 2002-1925	A	20020620		
	SE 2002-2181	A	20020711		
	US 2002-406120P	P	20020826		
	SE 2002-2908	A	20021001		
	US 2002-434010P	P	20021217		
	SE 2003-357	A	20030210		
	US 2003-464701P	P	20030423		
	CN 2003-814432	A3	20030619		
	WO 2003-SE1061	W	20030619		
	IN 2004-CN3052	A3	20041231		

OS MARPAT 140:42036

GI



I



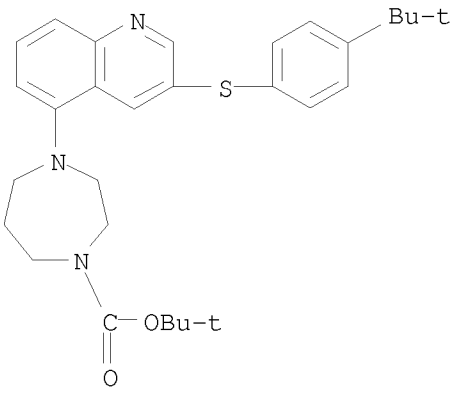
II

AB Title compds. I [ring B = same as ring A, 5-membered (un)substituted heterocycle/heteroaryl; W = N, CH, C provided that not more than 3 W groups are N in both rings A, B together; P = aminosulfonyl, sulfonamido, etc.; X, Y = H, halo, alkyl, CF3, etc.; R3 = piperazinyl, etc.] are prepared For instance, 6-benzenesulfonyl-4-chloroquinoline is reacted with piperazine (CH3CN, 80°, overnight) to give II isolated as the HCl salt. II has Ki = 10 nM for the human 5-HT6 receptor. I are useful for the treatment of conditions relating to obesity, type II diabetes and CNS disorders.

IT 636997-89-2P, tert-Butyl 4-[3-[(4-tert-butylphenyl)thio]quinolin-5-yl]-1,4-diazepane-1-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of naphthelene and pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders)

RN 636997-89-2 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[3-[[4-(1,1-dimethylethyl)phenyl]thio]-5-quinolinyl]hexahydro-, 1,1-dimethylethyl ester (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:777764 CAPLUS
DN 139:292163
TI Preparation of arylsulfonyl(diazacycloalkyl)quinolines for treatment of CNS disorders
IN Ahmed, Mahmood; Johnson, Christopher Norbert; Jones, Martin C.; MacDonald, Gregor James; Moss, Stephen Frederick; Thompson, Mervyn; Wade, Charles Edward; Witty, David
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003080580	A2	20031002	WO 2003-EP3197	20030325
	WO 2003080580	A3	20040205		
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	TW 268928	B	20061221	TW 2003-92106558	20030325

	RU 2309154	C2	20071027	RU 2004-131641	20030325
	ZA 2004007320	A	20051004	ZA 2004-7320	20040912
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	MX 2004PA09318	A	20050125	MX 2004-PA9318	20040924
	US 2005124628	A1	20050609	US 2004-509078	20040927
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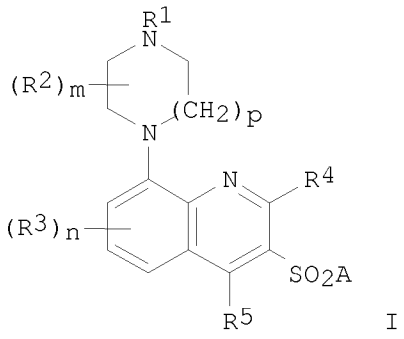

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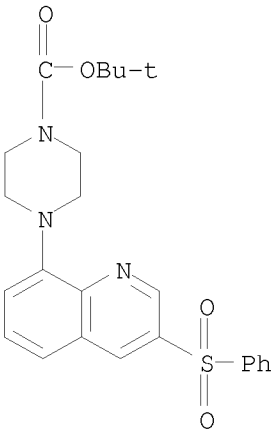
AB Title compds. I [R1, R2 = H, alkyl; R1R2, R22 = (CH2)2-4; R3-R5 = H, halogen, CN, CF3, OCF3, alkyl, alkoxy, alkanoyl, (un)substituted CONH2; A = (un)substituted aryl; m = 1-4; n = 1-3, p = 1, 2] were prepared for use as HT6 receptor antagonists in treatment of CNS disorders. Thus, 8-iodo-3-phenylsulfonylquinoline was prepared from 8-nitroquinoline and was treated with 1-tert.-butoxycarbonylpiperazine, followed by deblocking, to give 3-phenylsulfonyl-8-piperazinoquinoline.

IT 607743-10-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylsulfonyl(diazacycloalkyl)quinolines for treatment of CNS disorders)

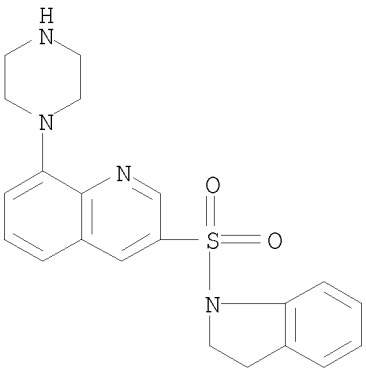
RN 607743-10-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-(phenylsulfonyl)-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



=> d l4 7-8 hitstr

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
IT 847727-11-1P, 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(1-piperazinyl)quinoline monohydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of piperazinylquinolines for treatment of CNS disorders)
RN 847727-11-1 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 847727-12-2P, 3-[(5-Fluoro-2,3-dihydro-1H-isoindol-2-yl)sulfonyl]-8-(1-piperazinyl)quinoline monohydrochloride 847727-15-5P, 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(4-methyl-1-

piperazinyl)quinoline monohydrochloride 847727-16-6P, 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(1-piperazinyl)quinoline 847727-17-7P, 3-[(5-Fluoro-2,3-dihydro-1H-isoindol-2-yl)sulfonyl]-8-(1-piperazinyl)quinoline 847727-20-2P, 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(4-methyl-1-piperazinyl)quinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperazinylquinolines for treatment of CNS disorders)

RN 847727-12-2 CAPLUS
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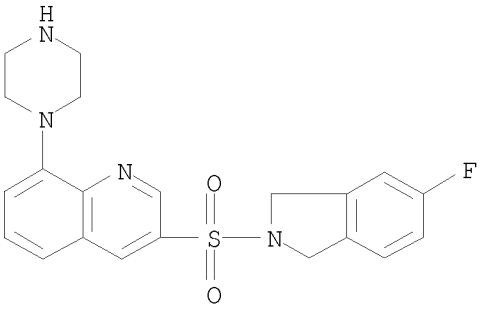

● HCl

RN 847727-15-5 CAPLUS
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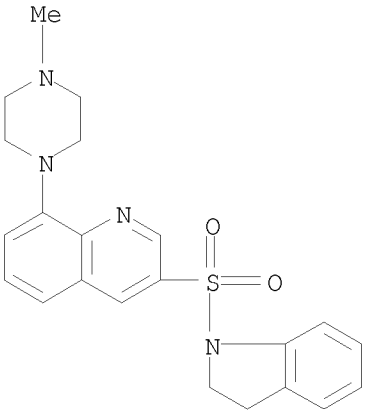
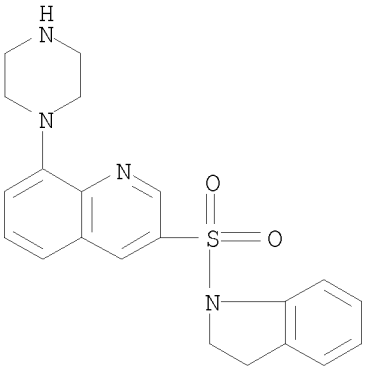


● HCl

RN 847727-16-6 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 847727-17-7 CAPLUS
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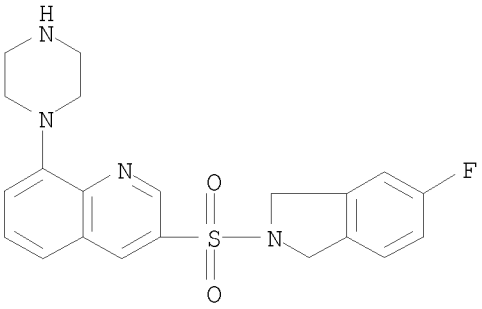
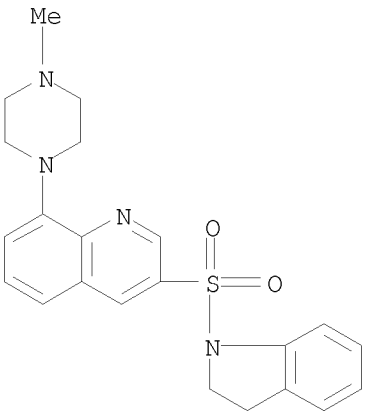


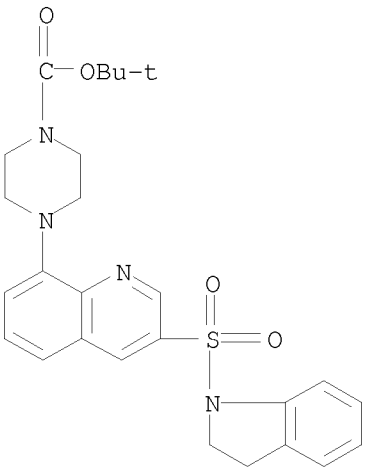
RN 847727-20-2 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[8-(4-methyl-1-piperazinyl)-3-quinolinyl]sulfonyl]- (9CI) (CA INDEX NAME)



IT 847727-30-4P, 1,1-Dimethylethyl 4-[3-[(2,3-dihydro-1H-indol-1-yl)sulfonyl]-8-quinolinyl]-1-piperazinecarboxylate 847727-31-5P, 1,1-Dimethylethyl 4-[3-[(5-fluoro-2,3-dihydro-1H-isoindol-2-yl)sulfonyl]-8-quinolinyl]-1-piperazinecarboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinylquinolines for treatment of CNS disorders)

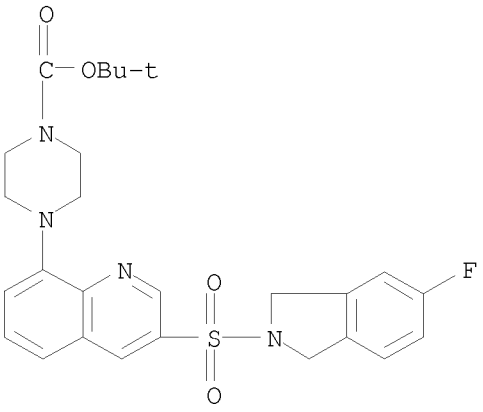
RN 847727-30-4 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[(2,3-dihydro-1H-indol-1-yl)sulfonyl]-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

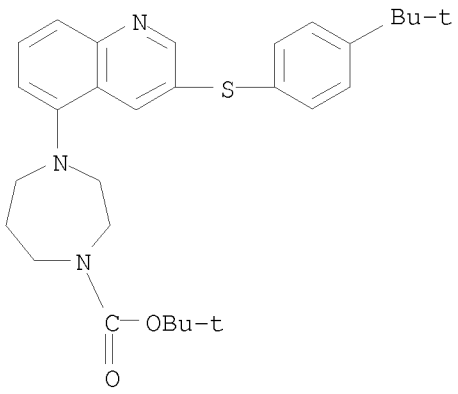


RN 847727-31-5 CAPLUS

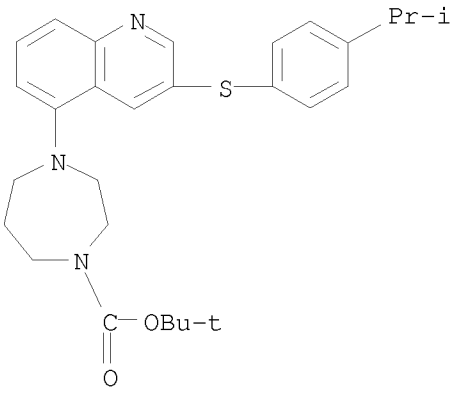
CN 1-Piperazinecarboxylic acid, 4-[3-[(5-fluoro-1,3-dihydro-2H-isoindol-2-yl)sulfonyl]-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
IT 636997-89-2P, tert-Butyl 4-[3-[(4-tert-butylphenyl)thio]quinolin-5-yl]-1,4-diazepane-1-carboxylate 636997-90-5P, tert-Butyl 4-[3-[(4-isopropylphenyl)thio]quinolin-5-yl]-1,4-diazepane-1-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of naphthelene and pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders)
RN 636997-89-2 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[3-[[4-(1,1-dimethylethyl)phenyl]thio]-5-quinolinyl]hexahydro-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 636997-90-5 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-[3-[[4-(1-methylethyl)phenyl]thio]-5-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

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